PTO 07-3638

Japanese Patent
07010777

EXTERNAL AGENT

[Gaiyo Zai]

Itsuo Kawamori

UNITED STATES PATENT AND TRADEMARK OFFICE
Washington, D.C. April 2007

Translated by: Schreiber Translations, Inc.

Country : Japan

Document No. : H07-10777

Document Type : Kokai

Language : Japanese

Inventor : Itsuo Kawamori

Applicant : Sekisui Chemical Industry Co., Ltd.

IPC : A 61 K 47/30

Application Date : June 24, 1993

Publication Date : January 13, 1995

Foreign Language Title : Gaiyo Zai

English Title : EXTERNAL AGENT

/1[1]

(54) Title of the invention

External agent

(57) Summary

Objective: To provide an external agent designed to bear an excellent coating film formability and form, on a skin, a coating film which neither is perceived sticky nor flows away under the pervasion of the water content of perspiration, etc.

Constitution: It is constituted by a base comprised of a vinyl acetate-croton copolymer & an aliphatic alcohol and a drug effective component, whereas the ratio of said vinyl acetate-croton copolymer within said external agent is confined to a range of 0.5 ~ 30 wt%.

Patent Claim

Claim 1

An external agent characterized by the facts that that it is constituted by a base comprised of a vinyl acetate-croton copolymer & an aliphatic alcohol and a drug effective component and that the ratio of said vinyl acetate-croton copolymer within said external agent is confined to a range of 0.5 ~ 30 wt%.

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[1] Numbers in the margin indicate pagination in the foreign text.

Detailed explanation of the invention

[0001]

(Industrial application fields)

The present invention concerns an external agent.

[0002]

(Prior art)

A film-formable skin coating agent constituted to include a drug effective component, perfume, insect repellant or mixture thereof; a partially saponified polyvinyl acetate soluble with a mixed solvent of water & an alcohol, water, and an alcohol has been disclosed (Japanese Patent Application Publication Kokai No. Sho 57[1982]-108011 Gazette). The aforementioned skin coating agent, however, is soluble with water due to the high degree of saponification of the partially saponified polyvinyl acetate component thereof, which is flawed in that a film formed on the skin becomes sticky or flows away under the pervasion of the water content of perspiration, etc.

[0003]

An external agent comprised of 0.5 ~ 10 wt% of polyvinyl alcohol, 0.5 ~ 5 wt% of a methoxyethylene-maleic anhydride copolymer, 20 ~ 80 wt% of an alkylene glycol, & [a balance of?] water has, furthermore, been disclosed (Japanese Patent Publication Kokoku No. Hei 3[1991]-31165 Gazette). The aforementioned polyvinyl alcohol & methoxyethylene-maleic anhydride copolymer, however, are each water-soluble polymers, which is flawed in that a film formed on the skin becomes sticky or flows away under the pervasion of the water content of perspiration, etc.

[0004]

The objective of the present invention, which has been conceived in acknowledgment of the aforementioned shortcomings, is to provide an external agent designed to bear an excellent coating film formability and form, on a skin, a coating film which neither is perceived sticky nor flows away under the pervasion of the water content of perspiration, etc.

[0005]

(Mechanism for solving the problems)

The base used in the present invention consists of a vinyl acetate-croton copolymer & an aliphatic alcohol.

[0006]

The aforementioned vinyl acetate-croton copolymer is used as a film-forming component, and as such, an anionic vinyl acetate copolymer obtained by polymerizing the respective components at a vinyl acetate : crotonic acid molar ratio of 9 : 1 can be favorably used. It is desirable for the average molecular weight of the aforementioned vinyl acetate-croton copolymer to be confined to a range of 30,000 ~ 100,000, whereas corresponding commercial products may, for example, be instantiated by "RESYM28-1310" (manufactured by Kanebo NSC Co.), "Luiset CA66" (manufactured by BASF Co.), etc.

[0007]

In a case where the internalization ratio of the vinyl acetate-croton copolymer within the external agent of the present invention is excessively low, the film formability becomes insufficient, whereas in a case where the same is excessively high, the solvent solubility diminishes, resulting in a perception of foreignness at the time of use, and therefore, said ratio is confined to a range of 0.5 ~ 30 wt%, preferably 1 ~ 20 wt%.

[0008]

There are no special restrictions on the aforementioned aliphatic alcohols, which are used as solvents for the aforementioned vinyl acetate-croton copolymer, so long as they are highly volatile, and they may, for example, be instantiated by ethanol, isopropanol, geraniol-modified alcohols, etc. It is also possible to use mixtures of these alcohols with water. In a case where said alcohol is used as a mixture with water, the solubility with the aforementioned vinyl acetate-croton copolymer diminishes as its ratio drops, and therefore, it is desirable for its ratio with respect to the total solvent weight to be at least 40 wt%.

[0009]

In a case where the addition ratio of the aliphatic alcohol within the external agent of the present invention is excessively low, it becomes impossible to fully solubilize the vinyl acetate-croton copolymer, whereas in a case where the same is excessively high, it becomes impossible to form a sufficient film due to a low vinyl acetate-croton copolymer concentration, and therefore, it is desirable for its ratio with respect to 100 parts by weight of the vinyl acetate-croton copolymer to be confined to a range of 100 ~ 200,000 parts by weight, preferably 400 ~ 100,000 parts by weight.

[0010]

It is possible, if necessary, to add, to the external agent of the present invention, neutralizing agents, humidistat agents, perfumes, etc. to the extent that they do not hinder the effects of the present invention.

[0011]

The aforementioned neutralizing agents may, for example, be instantiated by organic aminohydroxy compounds such as 2-amino-2-methyl-1-propanol, 2-amino-2-methyl-1,3-propanediol, 2-amino-2-ethyl-1,3-propanediol, etc.; basic compounds such as aqueous ammonia, potassium hydroxide, etc.; etc.

[0012]

The aforementioned humidistat agents may, for example, be instantiated by glycerin, propylene glycol, etc. The aforementioned perfumes may, for example, be instantiated by limonene, geraniol, citral, 1-carvone, linalyl alcohol, menthol, peppermint oil, etc.

[0013]

There are no special restrictions on drug effective components used in the present invention, and they can be adventitiously selected in consideration of utility objectives.

[0014]

The external agent of the present invention may be coated directly on a diseased site, or it may instead be used as a pasting agent after having been coated on a substrate.

[0015]

The aforementioned substrates may, for example, be instantiated by films, woven fabrics, non-woven fabrics, etc. made of polyesters, rayon, polyethylene, polyvinyl chloride, polyvinylidene chloride, polyethylene-vinyl acetate copolymer, polyurethane, etc.

[0016]

(Functions)

The external agent of the present invention bears an excellent film formability in that it includes, as a base, a water-insoluble vinyl acetate-croton copolymer, whereas the film formed therefrom becomes adhered to the skin in a membrane or particle state, and therefore, it entails no sensation of stickiness and is unlikely to flow away under the pervasion of the water content of perspiration, etc.

[0017]

(Application examples)

In the following, application examples of the present invention will be explained.

Application Example 1

After 5 parts by weight of a vinyl acetate-croton copolymer ("RESYM28-1310," /3 manufactured by Kanebo NSC Co.) had been solubilized into 50 parts by weight of ethanol, 0.75 part of indomethacin (drug effective component) was added to & solubilized into the obtained solution, and after 44.25 parts by weight of purified water had been further added to the obtained mixture, an analgesic external agent was prepared.

[0018]

Application Example 2

After 10 parts by weight of a vinyl acetate-croton copolymer (RESYM28-1310) had been solubilized into 50 parts by weight of ethanol, 7 parts by weight of diethyltoluamide was added to & solubilized into the obtained solution, and after 33 by weight of purified water had been further added to the obtained mixture, an insect repellant external agent was prepared.

[0019]

Application Example 3

After 5 parts by weight of a vinyl acetate-croton copolymer (RESYM28-1310) had been solubilized into 60 parts by weight of isopropanol, 0.26 part by weight of 2-amino-2-methyl-1-propanol was added to & solubilized into the obtained solution, and after 0.01 part of benzothonium chloride (disinfectant) & 34.73 parts by weight of purified water had been further added to the obtained mixture, a disinfectant repellant external agent was prepared.

[0020]

Application Example 4

After 7 parts by weight of a vinyl acetate-croton copolymer ("Luiset CA66," manufactured by BASF Co.) had been solubilized into 50 parts by weight of ethanol, 0.5 part by weight of tocopherol acetate (drug effective component) was added to & solubilized into the obtained solution, and after 32.5 parts by weight of purified water had been further added to the obtained mixture, a hand lotion was prepared.

[0021]

Comparative Example 1

After 0.75 part by weight of indomethacin (drug effective component) had been added to & solubilized into 55 parts by weight of ethanol, 44.25 parts by weight of purified water was added to the obtained solution, as a result of which an analgesic external agent was prepared.

[0022]

Comparative Example 2

After [sic: No subject] had been solubilized into 60 parts by weight of ethanol, 7 parts by weight of diethyltoluamide (insect repellant) was added to & solubilized into the obtained solution, and after 33 parts by weight of purified water had been further added to the obtained mixture, an insect repellant external agent was prepared.

[0023]

Comparative Example 3

After 40 parts by weight of a vinyl acetate-croton copolymer (RESYM28-1310) had been solubilized into 50 parts by weight of ethanol, 7 parts by weight of diethyltoluamide (insect repellant) was added to & solubilized into the obtained solution, and after 3 parts by weight of purified water had been further added to the obtained mixture, an insect repellant external agent was prepared.

[0024]

Comparative Example 4

After 10 parts by weight of a polyvinyl alcohol with a degree of saponification of 86.5 ~ 89.0 mol% ("Gosenol EG-05," manufactured by Nihon Gosei Kagaku Co.) had been solubilized into 49.8 parts by weight of purified water, 32.2 parts by weight of ethanol was added to &

solubilized into the obtained solution, and after 7 parts by weight of diethyltoluamide (insect repellant) had been further added to the obtained mixture, an insect repellant external agent was prepared.

[0025]

(Evaluations of external agents)

The respective external agents obtained in the aforementioned application examples & comparative examples were evaluated according to the following criteria.

(1): Sensory tests

After each sample had been coated on the inner sides of forearms of five male adults, the number of panelists reporting the absence of anomaly with regard to each of the following application sensations of ① ~ ③ was recorded in Table I:

①: Presence or absence of peeling & falling;

②: Presence or absence of sensation of stickiness;

③: Presence or absence of sensation of foreignness (sensation of tautness).

(2): Effect of inhibiting the evaporation of diethyltoluamide

0.5 mL of each external agent was coated on a polyester non-woven fabric (unit weight: 85 g/m^2), and after the coated fabric had been left unattended within a thermostat bath at a temperature of 37°C over a 10-hour period, the residual ratio was calculated from the diethyltoluamide contents before & after unattendance within the thermostat bath, and the measurement results are shown in Table I.

[0026]

Table I

		Sensory tests (number of panelists)			Effect of inhibiting evaporation of toluamide (%)
		Peeling & falling	Sensation of stickiness	Sensation of foreignness	
Application Examples	1	5	5	5	-
	2	5	5	5	70
	3	5	5	5	-
	4	5	5	5	-
Comparative Examples	1	2	5	5	-
	2	5	5	5	30
	3	3	2	0	-
	4	5	1	2	72

[0027]

(Effects of the invention)

The external agent of the present invention bears, by virtue of the constitution thereof shown above, an excellent coating film formability on the skin surface, and since the film formed on the /4 skin surface exhibits an excellent contiguity, it is neither perceived sticky nor flows away under the pervasion of the water content of perspiration, etc.